

● PRINTER RUSH ●

(PTO ASSISTANCE)

2

Application : <u>09/625384</u>	Examiner : <u>CHAN 2</u>	GAU : <u>1625</u>
From : <u>QF</u>	Location : <u>IDC</u> FMF FDC	Date : <u>10-15-05</u>

Tracking #: 09/625384 Week Date: 09-09-05
EPRM

DOC CODE	DOC DATE	MISCELLANEOUS
<input type="checkbox"/> 1449		<input type="checkbox"/> Continuing Data
<input checked="" type="checkbox"/> IDS	<u>8-30-04</u>	<input type="checkbox"/> Foreign Priority
<input type="checkbox"/> CLM		<input type="checkbox"/> Document Legibility
<input type="checkbox"/> IIFW		<input type="checkbox"/> Fees
<input type="checkbox"/> SRFW		<input type="checkbox"/> Other
<input type="checkbox"/> DRW		
<input type="checkbox"/> OATH		
<input type="checkbox"/> 312		
<input type="checkbox"/> SPEC		

[RUSH] MESSAGE: 1. PLEASE REFILE THE RUSH CITATIONS.

2. Claim listing & IIFW don't match.

THANK YOU

[XRUSH] RESPONSE: Please see copies attached.

Everything is the record.

INITIALS: CL

NOTE: This form will be included as part of the official USPTO record, with the Response document coded as XRUSH.
 REV 10/04

● PRINTER RUSH ●

(PTO ASSISTANCE)

Application : <u>09/625384</u>	Examiner : <u>Chang</u>	GAU : <u>1625</u>
From: <u>CF</u>	Location: <u>IDC</u> FMF FDC	Date: <u>8-2-05</u>

Tracking #: EPm - 09/625384 Week Date: 5-5-05

DOC CODE	DOC DATE	MISCELLANEOUS
<input checked="" type="checkbox"/> 1449	<u>10-16-02</u>	<input type="checkbox"/> Continuing Data
<input type="checkbox"/> IDS	<u>8-30-04</u>	<input type="checkbox"/> Foreign Priority
<input checked="" type="checkbox"/> CLM	<u>8-30-04</u>	<input type="checkbox"/> Document Legibility
<input checked="" type="checkbox"/> IIFW	<u>11-28-05</u>	<input type="checkbox"/> Fees
<input type="checkbox"/> SRFW	_____	<input type="checkbox"/> Other
<input type="checkbox"/> DRW	_____	
<input type="checkbox"/> OATH	_____	
<input type="checkbox"/> 312	_____	
<input type="checkbox"/> SPEC	_____	

[RUSH] MESSAGE: 1. PLEASE INITIAL/line through CITATIONS.

2. IIFW, NOA & CLAIM SET DON'T MATCH.

THANK YOU

[XRUSH] RESPONSE: Please note Ex. Gmead should be entered IIFW, NOA & claim set not match.

INITIALS: ce

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REV 10/04

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(use as many sheets as necessary)

Sheet	1A	of
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Application Number	09/625,384
Filing Date	July 26, 2000
First Named Inventor	Richard A. Muller
Group Art Unit	1625
Examiner Name	B. Robinson
Attorney Docket Number	101765.00054

[illegible][illegible]

8/15/05

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Substitute for form 1449A/PTO

INFORMATION DISCLOSURE STATEMENT BY APPLICANT

(Use as many sheets as necessary)

Sheet	1	of	1
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Complete if Known

Application Number	09/625,384
Filing Date	July 26, 2000
First Named Inventor	Richard A. Mueller
Art Unit	1625
Examiner Name	B. Robinson
Attorney Docket Number	101765.00054 (3128/1)

U.S. PATENT DOCUMENTS

[illegible]

FOREIGN PATENT DOCUMENTS

[illegible]

**Examiner
Signature**

Date Considered

8/15/05

Signature [Signature] [Date]

*EXAMINER: Initial if reference considered, whether or not citation is in conformance with MPEP 609. Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant. ¹ Applicant's unique citation designation number (optional). ² See Kinda Codes for USPTO Patent Documents at www.uspto.gov or MPEP 901.04. ³ Enter Office that issued the document, by the two-letter code (WIPO Standard ST.3). ⁴ For Japanese patent documents, the indication of the year of the reign of the Emperor must precede the serial number of the patent document. ⁵ Kind of document by the appropriate symbols as indicated on the document under WIPO Standard ST. 16 if possible. ⁶ Applicant is to place a check mark here if English language Translation is attached.

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This collection of information is required by 37 CFR 1.97 and 1.58. The information is required to obtain or retain a benefit by the public which is to file (and by the USPTO to process) an application. Confidentiality is governed by 35 U.S.C. 122 and 37 CFR 1.14. This collection is estimated to take 2 hours to complete, including gathering, preparing, and submitting the completed application form to the USPTO. Time will vary depending upon the individual case. Any comments on the amount of time you require to complete this form and/or suggestions for reducing this burden, should be sent to the Chief Information Officer, U.S. Patent and Trademark Office, U.S. Department of Commerce, P.O. Box 1450, Alexandria, VA 22313-1450. DO NOT SEND FEES OR COMPLETED FORMS TO THIS ADDRESS. SEND TO: Commissioner for Patents, P.O. Box 1450, Alexandria, VA 22313-1450.

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DETAILED ACTION

1. The request for reconsideration filed on Aug. 30, 2004 has been considered carefully.

Based on the restriction and species election, the subject matter of claim 30 wherein R' is R1 and R1 is the scope of claim 28, nonheterocyclic, is examined.

One method of treating HIV in vitro in cell is rejoined with the elected compounds. The remaining compounds and compositions of claims 1-29, 32, 34-95 and the remaining subject matter of claim 30, not reading on the elected compounds or in vitro inhibition of retroviral protease activity are withdrawn from consideration per 37 CFR 1.142(b).

Claims 30 R' is alkyl, thioalkyl, alkylthioalkyl, alkenyl, alkynyl and cycloalkyl and claims 31, 33 reading on the elected claim 30 are examined.

2. *Examiner's Amendment*

Authorization for this examiner's amendment was given in a telephone interview with Mr. Benjamin Spehlmann on April 20, 2005.

Claims 1-99 have been canceled.

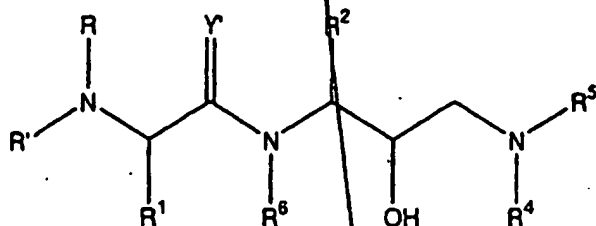
Applicants have limited the claims to the elected invention and replacing the pending claims with the newly added claims 100-104. Rejoining only the method for inhibiting retroviral protease in cells. Support for the instant amendment are found in claims 19, 28, 30-33 as originally filed.

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LISTING OF CLAIMS

Claim 1 (withdrawn)

1. A compound represented by the formula:



(Formula I)

or a pharmaceutically acceptable salt, prodrug or ester thereof, wherein:

R represents hydrogen, alkoxycarbonyl, aryloxy carbonylalkyl, aralkoxycarbonyl, alkylcarbonyl, cycloalkylcarbonyl, cycloalkylalkoxycarbonyl, cycloalkylalkanoyl, alkanoyl, aralkanoyl, aroyl, aryloxy carbanoyl, aryloxyalkanoyl, heterocyclylcarbonyl, heterocycloxy carbonyl, heteroaralkoxycarbonyl, heterocyclylalkanoyl, heterocyclylalkoxycarbonyl, heteroarylcarbonyl, heteroaryloxy carbonyl, heteroaroyl, alkyl, alkenyl, cycloalkyl, aryl, aralkyl, aryloxyalkyl, heteroaryloxyalkyl, hydroxyalkyl, aralkylaminoalkylcarbonyl, aminoalkanoyl, aminocarbonyl, aminocarbonylalkyl, alkylaminoalkylcarbonyl, and mono- and disubstituted

aminocarbonyl and aminoalkanoyl radicals wherein the substituents are selected from the group consisting of alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl, alkoxy carbonyl, arylalkyloxycarbonyl, and heterocycloalkylalkyl radicals, or in the case of disubstituted aminoalkanoyl, said substituents along with the nitrogen atom to which they are attached form a heterocyclyl or heteroaryl radical;

R' represents radicals defined for R', or R and R' together with the nitrogen to which they are attached form a heterocycloalkyl or heteroaryl radical;

R¹ represents hydrogen, -CH₂SO₂NH₂, -CO₂CH₃, -CH₂CO₂CH₃, -C(O)NH₂, -C(O)NHCH₃, -C(O)N(CH₃)₂, -CH₂C(O)NHCH₃, -CH₂C(O)N(CH₃)₂, alkyl, thiolalkyl and the corresponding sulfoxide and sulfone derivatives thereof, alkenyl, haloalkyl, alkoxyalkyl, alkynyl and cycloalkyl radicals and amino acid side chains selected from the group consisting of asparagine, S-methyl cysteine and the corresponding sulfoxide and sulfone derivatives thereof, glycine, leucine, isoleucine, allo-isoleucine, tert-leucine, alanine, phenylalanine, ornithine, histidine, norleucine, glutamine, valine, threonine, allo-threonine, serine, aspartic acid and beta-cyano alanine, side chains;

R² represents alkylthioalkyl, cycloalkylthioalkyl or arylthioalkyl radicals, which radicals are optionally substituted with a substituent selected from the group

consisting of $-\text{NO}_2$, $-\text{OR}^{\text{u}}$, $-\text{SR}^{\text{u}}$, and halogen radicals, wherein R^{u} represents hydrogen and alkyl radicals;

R^{v} represents hydrogen, alkyl, alkenyl, alkynyl, haloalkyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, and heteroaralkyl radicals;

Y^{v} represents O, S and NR^{v} ;

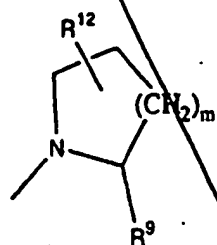
R^{v} and R^{v} together with the nitrogen atom to which they are bonded represent a N-heterocyclic moiety; and R^{v} represents hydrogen and alkyl radicals.

Claim 2 (withdrawn)

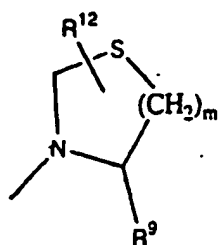
2. A compound of Claim 1 where R^{v} and R^{v} together with the nitrogen atom to which they are bonded represent a N-heterocyclic moiety containing 5, 6 or 7 members when monocyclic, 5, 6 or 7 members in a ring with 1, 2 or 3 members in a bridge when a bridged monocyclic, 11, 12 or 13 members when bicyclic, and 11 to 16 members when tricyclic.

Claim 3 (withdrawn)

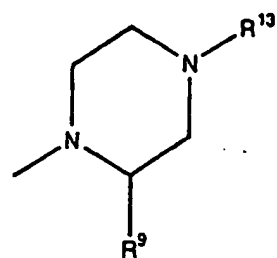
3. A compound of Claim 2 where R^{v} and R^{v} together with the nitrogen atom to which they are bonded form a N-heterocyclic moiety selected from the group consisting of formulae (A) through and including (J)



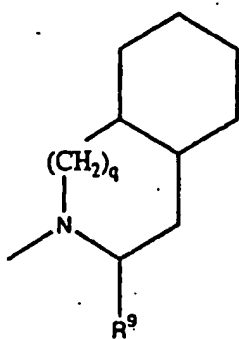
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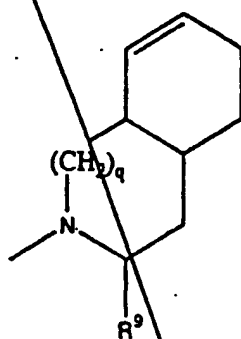
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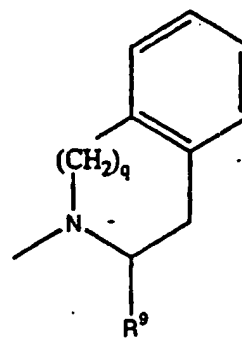
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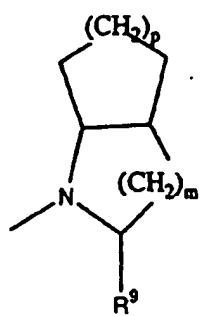
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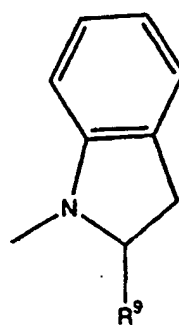
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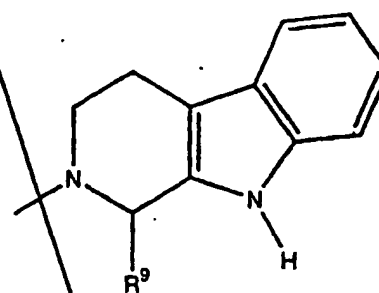
(F)



(G)



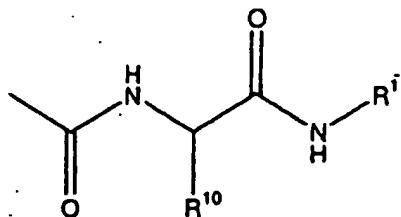
(H)



(I)

wherein:

R' represents hydrogen, alkyl, alkoxycarbonyl, monoalkylcarbamoyl, monoaralkylcarbamoyl, monoarylcarbamoyl or a group of the formula:



R¹⁰ and R¹¹ each represents alkyl;

R¹² represents hydrogen, hydroxy, alkoxycarbonylamino or acylamino;

R¹³ represents hydrogen, alkyl, aryl, alkoxycarbonyl or acyl;

m is 1, 2, 3, or 4;

p is 1 or 2;

q is 0, 1 or 2; and R' represents hydrogen and alkyl radicals.

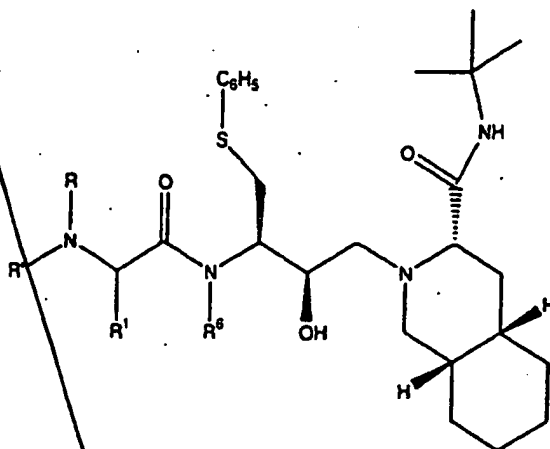
Claim 4 (withdrawn)

4. A compound of Claim 1 where Y' is oxygen.

Claim 5 (withdrawn)

5. A compound of Claim 1 where R' is arylthioalkyl.

11. A compound of Claim 3 represented by the formula



Claim 12 (withdrawn)

Claim 13 (withdrawn)

Claim 14 (withdrawn)

Claim 15 (withdrawn)

Claim 16 (withdrawn)

- 8 -

Claim 17 (withdrawn)

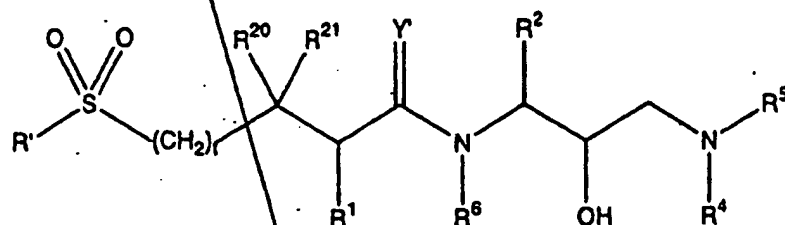
17. Method of treating AIDS comprising administering a pharmaceutical composition of a compound of Claim 1.

Claim 18 (withdrawn)

18. Method of treating AIDS comprising administering a pharmaceutical composition of a compound of Claim 1 in combination with other drugs for the treatment of AIDS or the symptoms of AIDS.

Claim 19 (original)

19. A compound represented by the formula:



(Formula II)

or a pharmaceutically acceptable salt, prodrug or ester thereof, wherein:

R' represents radicals defined for R';

t represents either 0 or 1;

R¹ represents hydrogen, -CH₂SO₂NH₂, -CO₂CH₃, -CH₂CO₂CH₃, -C(O)NH₂, -C(O)NHCH₃, -C(O)N(CH₃)₂, -CH₂C(O)NHCH₃, -CH₂C(O)N(CH₃)₂, alkyl, alkylthioalkyl, thioalkyl and the corresponding sulfoxide and sulfone derivatives thereof, alkenyl, alkynyl, alkoxyalkyl, haloalkyl and cycloalkyl radicals and amino acid side chains selected from the group consisting of asparagine, S-methyl cysteine and the corresponding sulfoxide and sulfone derivatives thereof, glycine, leucine, isoleucine, allo-isoleucine, tert-leucine, alanine, phenylalanine, ornithine, histidine, norleucine,

glutamine, valine, threonine, allo-threonine, serine, aspartic acid and beta-cyano alanine side chains;

R³ represents alkylthioalkyl, cycloalkylthioalkyl or arylthioalkyl radicals, which radicals are optionally substituted with a substituent selected from the group consisting of -NO₂, -OR¹¹, -SR¹¹, and halogen radicals, wherein R¹¹ represents hydrogen and alkyl radicals;

R³ represents hydrogen, alkyl, alkenyl, alkynyl, haloalkyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, and heteroaralkyl radicals;

Y' represents O, S and NR³;

R⁴ and R⁵ together with the nitrogen atom to which they are bonded represent a N-heterocycle;

R⁶ represents hydrogen and alkyl radicals;

and R²⁰ and R²¹ represent radicals as defined for R¹.

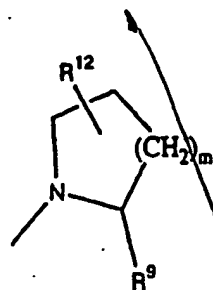
Claim 20. (original)

20. A compound of Claim 19 where R⁴ and R⁵ together with the nitrogen atom to which they are bonded represent a N-heterocyclic moiety containing 5, 6 or 7 members when monocyclic, 5, 6 or 7 members in a ring with 1, 2 or 3 members in a bridge when a bridged monocyclic, 11, 12 or 13 members when bicyclic, and 11

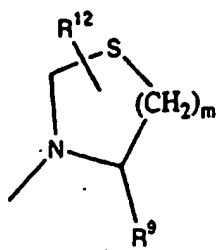
to 16 members when tricyclic; and R' represents hydrogen and alkyl radicals. —

Claim 21. (original)

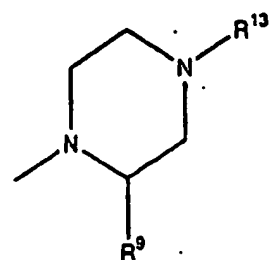
21. A compound of Claim 20 where R' and R' together with the nitrogen atom to which they are bonded form a N-heterocyclic moiety selected from the group consisting of formulae (A) through and including (J)



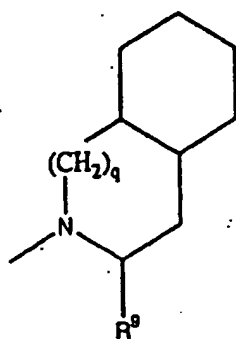
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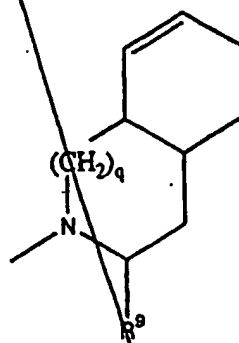
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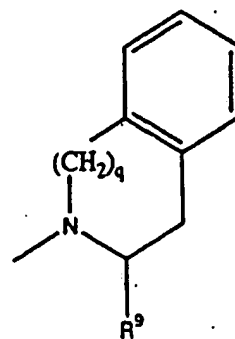
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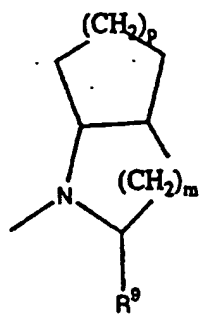
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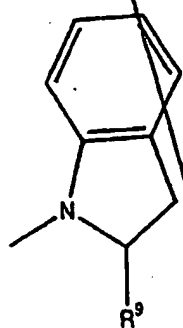
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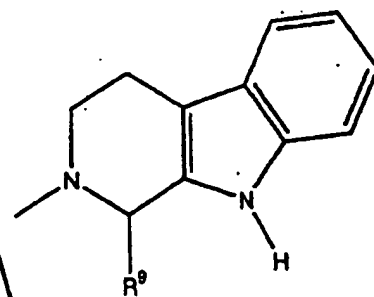
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(G)



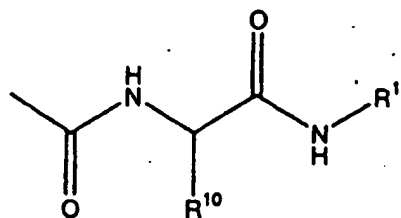
(H)



(I)

wherein:

R^9 represents hydrogen, alkyl, alkoxycarbonyl, monoalkylcarbamoyl, monoaralkylcarbamoyl, monoarylcarbamoyl or a group of the formula:



R^{10} and R^{11} each represents alkyl;

R^{12} represents hydrogen, hydroxy, alkoxycarbonylamino or acylamino;

R^{13} represents hydrogen, alkyl, aryl, alkoxycarbonyl or acyl;

m is 1, 2, 3, or 4;

p is 1 or 2;

q is 0, 1 or 2; and R^6 represents hydrogen and alkyl radicals.

Claim 22. (original)

22. A compound of Claim 19 where Y' is oxygen.

Claim 23. (original)

23. A compound of Claim 19 where R^2 is arylthioalkyl.

Claim 24. (original)

24. A compound of Claim 19 where t is 0.

Claim 25. (original)

25. A compound of Claim 20 where R' and R' together with the nitrogen atom to which they are bonded represent a bicyclic N-heterocyclic moiety.

Claim 26. (original)

26. A compound of Claim 19 where R²⁰ and R²¹ are hydrogen or alkyl.

Claim 27. (original)

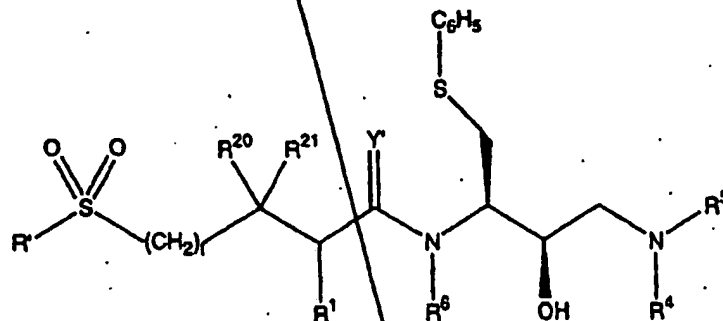
27. A compound of Claim 19 where R' is alkyl, aryl or arylalkyl.

Claim 28. (original)

28. A compound of Claim 19 where R' is hydrogen, alkyl, thioalkyl, alkylthioalkyl, alkenyl, alkynyl and cycloalkyl.

Claim 29. (currently amended)

29. A compound of Claim 19 represented by the Formula



wherein R', R¹, R², R⁴, R⁵, R²⁰, R²¹, Y' and t are as described herein.

R' represents radicals defined for R';

t represents either 0 or 1;

R¹ represents hydrogen, -CH₂SO₂NH₂, -CO₂CH₃, -CH₂CO₂CH₃, -
C(O)NH₂, -C(O)NHCH₃, -C(O)N(CH₃)₂, -CH₂C(O)NHCH₃, -
CH₂C(O)N(CH₃)₂, alkyl, alkylthioalkyl, thioalkyl and
the corresponding sulfoxide and sulfone derivatives
thereof, alkenyl, alkynyl, alkoxyalkyl, haloalkyl and
cycloalkyl radicals and amino acid side chains
selected from the group consisting of asparagine, S-
methyl cysteine and the corresponding sulfoxide and
sulfone derivatives thereof, glycine, leucine,
isoleucine, allo-isoleucine, tert-leucine, alanine,
phenylalanine, ornithine, histidine, norleucine,
glutamine, valine, threonine, allo-threonine, serine,
aspartic acid and beta-cyano alanine side chains;

R² represents alkylthioalkyl, cycloalkylthioalkyl or
arylthioalkyl radicals, which radicals are optionally
substituted with a substituent selected from the group
consisting of -NO₂, -OR¹¹, -SR¹¹, and halogen radicals,
wherein R¹¹ represents hydrogen and alkyl radicals;

R³ represents hydrogen, alkyl, alkenyl, alkynyl,
haloalkyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl,
cycloalkylalkyl, heterocycloalkyl, heteroaryl,
heterocycloalkylalkyl, aryl, aralkyl, and
heteroaralkyl radicals;

Y' represents O, S and NR¹;

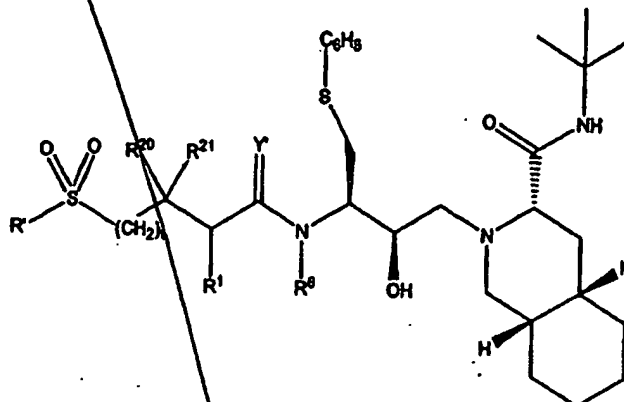
R⁴ and R⁵ together with the nitrogen atom to which they
are bonded represent a N-heterocycle;

R⁶ represents hydrogen and alkyl radicals;

and R¹⁰ and R¹¹ represent radicals as defined for R¹.

Claim 30. (currently amended)

30. A compound of Claim 21 represented by the formula



wherein R' , R^1 , R^6 , R^4 , R^5 , R^{20} , R^{21} , t , and Y' are as described herein.

R' represents radicals defined for R' ;

t represents either 0 or 1;

R^1 represents hydrogen, $-\text{CH}_2\text{SO}_2\text{NH}_2$, $-\text{CO}_2\text{CH}_3$, $-\text{CH}_2\text{CO}_2\text{CH}_3$, $-\text{C}(\text{O})\text{NH}_2$, $-\text{C}(\text{O})\text{NHCH}_3$, $-\text{C}(\text{O})\text{N}(\text{CH}_3)_2$, $-\text{CH}_2\text{C}(\text{O})\text{NHCH}_3$, $-\text{CH}_2\text{C}(\text{O})\text{N}(\text{CH}_3)_2$, alkyl, alkylthioalkyl, thioalkyl and the corresponding sulfoxide and sulfone derivatives thereof, alkenyl, alkynyl, alkoxyalkyl, haloalkyl and cycloalkyl radicals and amino acid side chains selected from the group consisting of asparagine, S-methyl cysteine and the corresponding sulfoxide and sulfone derivatives thereof, glycine, leucine, isoleucine, allo-isoleucine, tert-leucine, alanine, phenylalanine, ornithine, histidine, norleucine, glutamine, valine, threonine, allo-threonine, serine, aspartic acid and beta-cyano alanine side chains;

R¹ represents alkylthioalkyl, cycloalkylthioalkyl or arylthioalkyl radicals, which radicals are optionally substituted with a substituent selected from the group consisting of -NO₂, -OR^u, -SR^u, and halogen radicals, wherein R^u represents hydrogen and alkyl radicals;

R¹ represents hydrogen, alkyl, alkenyl, alkynyl, haloalkyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, and heteroaralkyl radicals;

Y represents O, S and NR¹;

R¹ represents hydrogen and alkyl radicals;

and R²⁰ and R²¹ represent radicals as defined for R¹.

Claim 31. (original)

31. A pharmaceutical composition comprising a compound of Claim 19 and a pharmaceutical carrier.

Claim 32. (original)

32. A pharmaceutical composition comprising a compound of Claim 19 and pharmaceutical carriers.

Claim 33. (original)

33. Method of inhibiting a retroviral protease comprising administering a protease inhibiting amount of a compound of Claim 19.

Claim 34. (original)

34. Method of treating a retroviral infection comprising administering a pharmaceutical composition of a compound of Claim 19.

Claim 35. (original)

35. Method of treating HIV infection comprising administering a pharmaceutical composition of a compound of Claim 19.

Claim 36. (original)

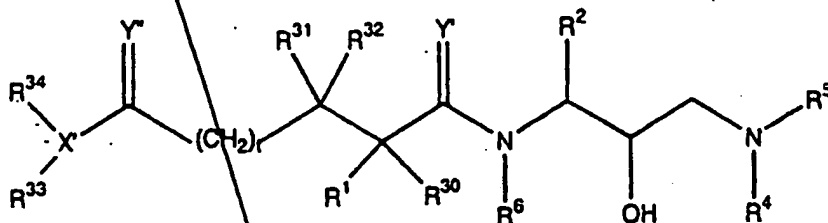
36. Method of treating AIDS comprising administering a pharmaceutical composition of a compound of Claim 19.

Claim 37. (original)

37. Method of treating AIDS comprising administering a pharmaceutical composition of a compound of Claim 19 in combination with other drugs for the treatment of AIDS or the symptoms of AIDS.

Claim 38 (withdrawn)

38. A compound represented by the formula:



(Formula III)

or a pharmaceutically acceptable salt, prodrug or ester thereof, wherein:

t represents either 0 or 1;

R¹ represents hydrogen, -CH₂SO₂NH₂, -CO₂CH₃, -CH₂CO₂CH₃, -C(O)NH₂, -C(O)NHCH₃, -C(O)N(CH₃)₂, -CH₂C(O)NHCH₃, -CH₂C(O)N(CH₃)₂, alkyl, thioalkyl, thioalkyl and the corresponding sulfoxide and sulfone derivatives thereof, alkenyl, alkynyl, alkoxyalkyl, haloalkyl and cycloalkyl radicals and amino acid side chains selected from the group consisting of asparagine, S-methyl cysteine and the corresponding sulfoxide and sulfone derivatives thereof, glycine, leucine, isoleucine, allo-isoleucine, tert-leucine, alanine,

phenylalanine, ornithine, histidine, norleucine, glutamine, valine, threonine, allo-threonine, serine, aspartic acid and beta-cyano alanine side chains;

R' represents alkylthioalkyl, cycloalkylthioalkyl, or arylthioalkyl radicals, which radicals are optionally substituted with a substituent selected from the group consisting of $-NO_2$, $-OR''$, $-SR''$, and halogen radicals, wherein R'' represents hydrogen and alkyl radicals;

R' represents hydrogen, alkyl, alkenyl, alkynyl, haloalkyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, and heteroaralkyl radicals;

X' represent O, N and $C(R''')$ where R''' represents hydrogen and alkyl radicals;

Y' and Y'' independently represent O, S and NR^3 ;

R^4 and R^5 together with the nitrogen atom to which they are bonded represent a N-heterocycle;

R^6 represents hydrogen and alkyl radicals;

R^9 , R^{11} and R^{12} independently represent radicals as defined for R^1 , or one of R^1 and R^9 together with one of R^{11} and R^{12} and the carbon atoms to which they are attached form a cycloalkyl radical; and

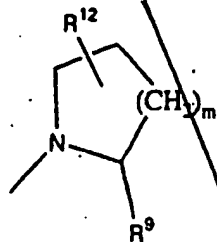
R'' and R''' independently represent radicals as defined for R', or R'' and R''' together with X' represent cycloalkyl, aryl, heterocyclyl and heteroaryl radicals, provided that when X' is O, R''' is absent.

Claim 39 (withdrawn)

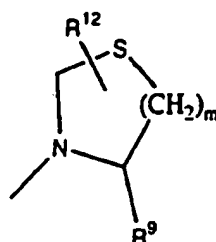
39. A compound of Claim 38 where R' and R'' together with the nitrogen atom to which they are bonded represent a N-heterocyclic moiety containing 5, 6 or 7 members when monocyclic, 5, 6 or 7 members in a ring with 1, 2 or 3 members in a bridge when a bridged monocyclic, 11, 12 or 13 members when bicyclic, and 11 to 16 members when tricyclic; and R' represents hydrogen and alkyl radicals.

Claim 40 (withdrawn)

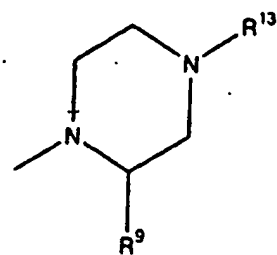
40. A compound of Claim 39 where R' and R'' together with the nitrogen atom to which they are bonded form a N-heterocyclic moiety selected from the group consisting of formulae (A) through and including (J)



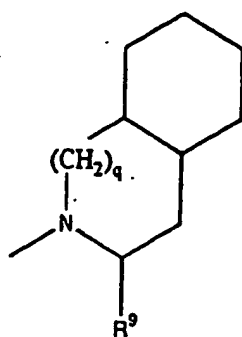
(A)



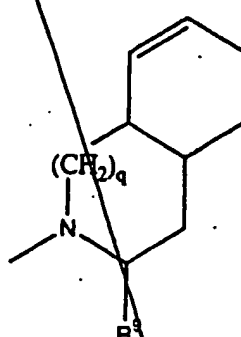
(B)



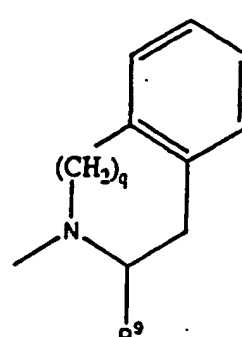
(C)



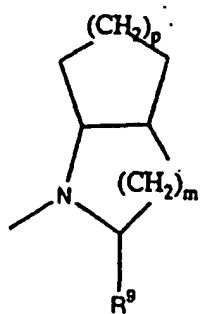
(D)



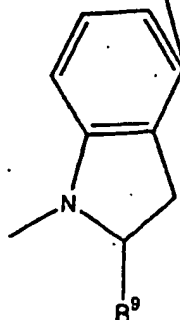
(E)



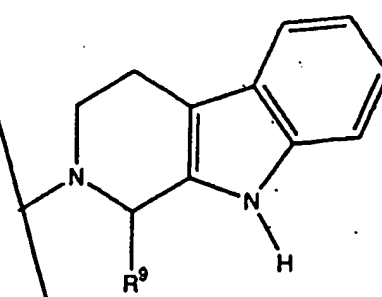
(F)



(G)



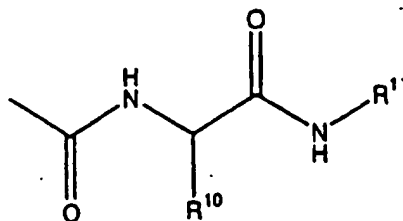
(H)



(J)

wherein:

R^9 represents hydrogen, alkyl, alkoxycarbonyl, monoalkylcarbamoyl, monoaralkylcarbamoyl, monoarylcarbamoyl or a group of the formula:



R^{10} and R^{11} each represents alkyl;

R^{12} represents hydrogen, hydroxy, alkoxycarbonylamino or acylamino;

R^{13} represents hydrogen, alkyl, aryl, alkoxycarbonyl or acyl;

m is 1, 2, 3, or 4;

p is 1 or 2;

q is 0, 1 or 2; and R^4 represents hydrogen and alkyl radicals.

Claim 41 (withdrawn)

41. A compound of Claim 38 where Y' and Y'' are oxygen.

Claim 42 (withdrawn)

42. A compound of Claim 38 where R^2 is arylthioalkyl.

Claim 43 (withdrawn)

43. A compound of Claim 38 where t is 0.

Claim 44 (withdrawn)

44. A compound of Claim 39 where R^4 and R^5 together with the nitrogen atom to which they are bonded represent a bicyclic N-heterocyclic moiety.

Claim 45 (withdrawn)

45. A compound of Claim 38 where X' is oxygen.

Claim 46 (withdrawn)

46. A compound of Claim 38 where X' is nitrogen.

Claim 47 (withdrawn)

47. A compound of Claim 38 where R''' and R''' are hydrogen, alkyl, cycloalkyl, aralkyl or haloalkyl.

Claim 48 (withdrawn)

48. A compound of Claim 38 where R''' and R''' taken together with the nitrogen to which they are attached form a heterocyclic ring.

Claim 49 (withdrawn)

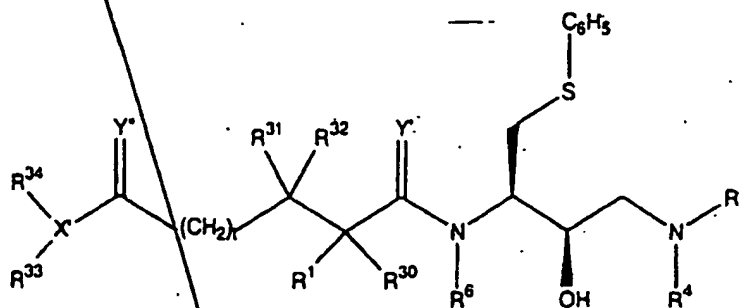
49. A compound of Claim 40 where R^1 is hydrogen, alkyl, thioalkyl, alkylthioalkyl, alkenyl, alkynyl and cycloalkyl.

Claim 50 (withdrawn)

50. A compound of Claim 38 where R^1 , R^{10} , R^{11} , R^{12} are hydrogen or alkyl.

Claim 51 (withdrawn)

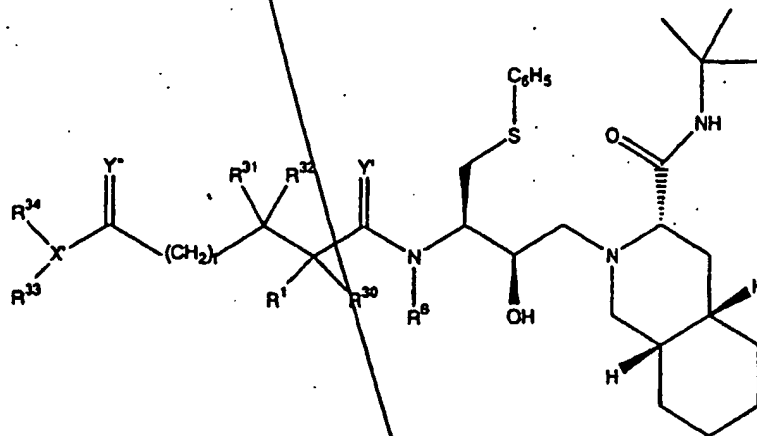
51. A compound of Claim 38 represented by the Formula



wherein R^1 , R^6 , Y' , Y'' , R^4 , R^5 , R^{30} , R^{31} , R^{32} , R^{33} , R^{34} and t are as described herein.

Claim 52 (withdrawn)

52. A compound of Claim 40 represented by the formula



wherein R , R^1 , R^6 and Y' are as described herein.

Claim 53 (withdrawn)

53. A pharmaceutical composition comprising a compound of Claim 38 and a pharmaceutical carrier.

Claim 54 (withdrawn)

54. A pharmaceutical composition comprising a compound of Claim 38 and a pharmaceutical carriers.

Claim 55 (withdrawn)

55. Method of inhibiting a retroviral protease comprising administering a protease inhibiting amount of a compound of Claim 38.

Claim 56 (withdrawn)

56. Method of treating a retroviral infection comprising administering a pharmaceutical composition of a compound of Claim 38.

Claim 57 (withdrawn)

57. Method of treating HIV infection comprising administering a pharmaceutical composition of a compound of Claim 38.

Claim 58 (withdrawn)

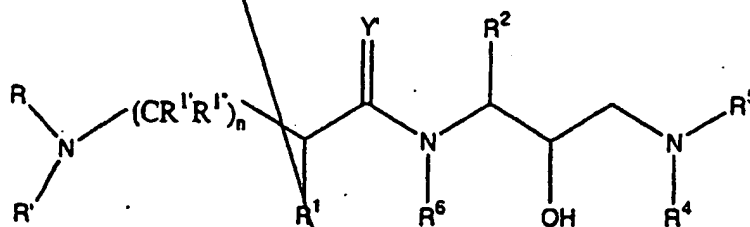
58. Method of treating AIDS comprising administering a pharmaceutical composition of a compound of Claim 38.

Claim 59 (withdrawn)

59. Method of treating AIDS comprising administering a pharmaceutical composition of a compound of Claim 38 in combination with other drugs for the treatment of AIDS or the symptoms of AIDS.

Claim 60 (withdrawn)

60. A compound represented by the formula:



(Formula IV)

or a pharmaceutically acceptable salt, prodrug or ester thereof, wherein:

R represents hydrogen, alkoxycarbonyl, aryloxy carbonylalkyl, aralkoxycarbonyl, alkylcarbonyl, cycloalkylcarbonyl, cycloalkylalkoxycarbonyl, cycloalkylalkanoyl, alkanoyl, aralkanoyl, aroyl, aryloxy carbanoyl, aryloxyalkanoyl, heterocyclylcarbonyl, heterocycloxy carbonyl, heteroaralkoxycarbonyl, heterocyclylalkanoyl, heterocyclylalkoxycarbonyl, heteroaralkylcarbonyl, heteroaryloxy carbonyl, heteroaroyl, alkyl, alkenyl, cycloalkyl, aryl, aralkyl, aryloxyalkyl, heteroaryloxyalkyl, hydroxyalkyl, aralkylaminoalkylcarbonyl, aminoalkanoyl,

aminocarbonyl, aminocarbonylalkyl, alkylaminoalkylcarbonyl, and mono- and disubstituted aminocarbonyl and aminoalkanoyl radicals wherein the substituents are selected from the group consisting of alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl, and heterocycloalkylalkyl radicals, or in the case of disubstituted aminoalkanoyl, said substituents along with the nitrogen atom to which they are attached form a heterocyclyl or heteroaryl radical;

R' represents radicals defined for R¹, or R and R' together with the nitrogen to which they are attached form a heterocycloalkyl or heteroaryl radical;

n represents 1 or 2;

R¹ represents hydrogen, -CH₂SO₂NH₂, -CO₂CH₃, -CH₂CO₂CH₃, -C(O)NH₂, -C(O)NHCH₃, -C(O)N(CH₃)₂, -CH₂C(O)NHCH₃, -CH₂C(O)N(CH₃)₂, alkyl, thioalkyl and the corresponding sulfoxide and sulfone derivatives thereof, alkenyl, alkynyl, haloalkyl, alkoxyalkyl and cycloalkyl radicals and amino acid side chains selected from the group consisting of asparagine, S-methyl cysteine and the corresponding sulfoxide and sulfone derivatives thereof, glycine, leucine, isoleucine, allo-isoleucine, tert-leucine, alanine, phenylalanine, ornithine, histidine, norleucine, glutamine, valine, threonine, allo-threonine, serine, aspartic acid and beta-cyano alanine side chains;

R¹ and R^{1'} independently represent hydrogen and radicals as defined for R³;

R² represents alkylthioalkyl, cycloalkylthioalkyl, or arylthioalkyl radicals, which radicals are optionally substituted with a substituent selected from the group consisting of -NO₂, -OR¹³, -SR¹³, and halogen radicals, wherein R¹³ represents hydrogen and alkyl radicals;

R³ represents hydrogen, alkyl, alkenyl, alkynyl, haloalkyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, and heteroaralkyl radicals;

Y' represents O, S and NR³;

R⁴ and R⁵ together with the nitrogen atom to which they are bonded represent a N-heterocyclic moiety;

R⁶ represents hydrogen and alkyl radicals.

Claim 61 (withdrawn)

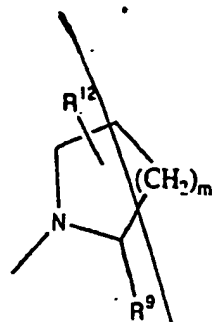
61. A compound of Claim 60 where R⁴ and R⁵ together with the nitrogen atom to which they are bonded represent a N-heterocyclic moiety containing 5, 6 or 7 members when monocyclic, 5, 6 or 7 members in a ring with 1, 2 or 3 members in a bridge when a bridged monocyclic, 11, 12 or 13 members when bicyclic, and 11 to 16 members when tricyclic.

Claim 62 (withdrawn)

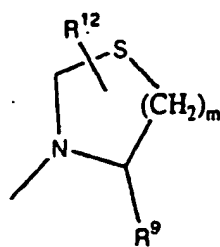
62. A compound of Claim 60 where n is 1.

Claim 63 (withdrawn)

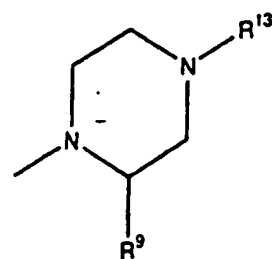
63. A compound of Claim 60 where R' and R' together with the nitrogen atom to which they are bonded form a N-heterocyclic moiety selected from the group consisting of formulae (A) through and including (J)



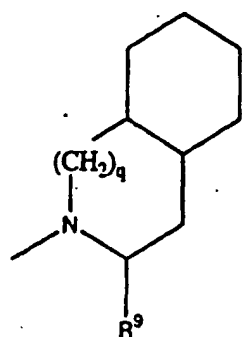
(A)



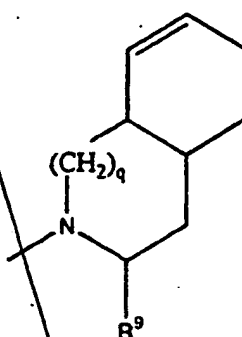
(B)



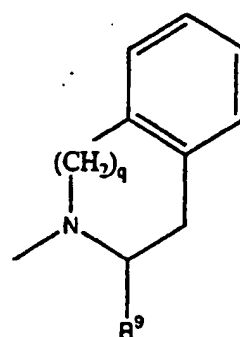
(C)



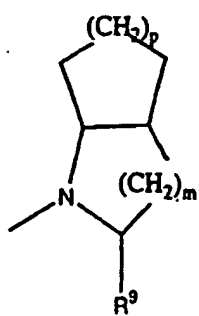
(D)



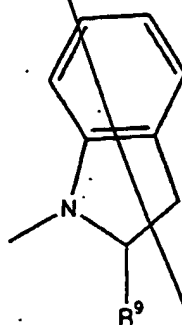
(E)



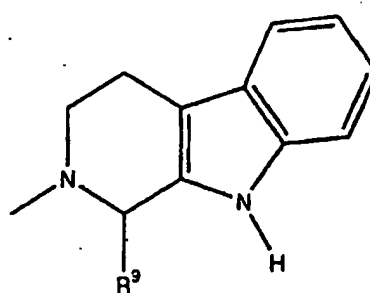
(F)



(G)



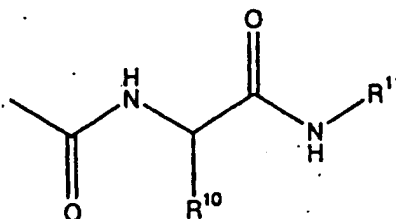
(H)



(J)

wherein:

R^9 represents hydrogen, alkyl, alkoxycarbonyl, monoalkylcarbamoyl, monoaralkylcarbamoyl, monoarylcaramoyl or a group of the formula:



R^{10} and R^{11} each represents alkyl;

R^{12} represents hydrogen, hydroxy, alkoxycarbonylamino or acylamino;

R^{13} represents hydrogen, alkyl, aryl, alkoxycarbonyl or acyl;

m is 1, 2, 3, or 4;

p is 1 or 2;

q is 0, 1 or 2; and R^6 represents hydrogen and alkyl radicals.

Claim 64 (withdrawn)

64. A compound of Claim 60 where Y' is oxygen.

Claim 65 (withdrawn)

65. A compound of Claim 60 where R^7 is arylthioalkyl.

Claim 66 (withdrawn)

66. A compound of Claim 61 where R' and R' together with the nitrogen atom to which they are bonded represent a bicyclic N-heterocyclic moiety.

Claim 67 (withdrawn)

67. A compound of Claim 60 where R is hydrogen, alkoxycarbonyl, arylalkylcarbonyl, heterocyclecarbonyl, aminoalkanoyl, mono-substituted aminoalkanoyl, di-substituted aminoalkanoyl.

Claim 68 (withdrawn)

68. A compound of Claim 62 where R^{1'} and R^{1''} are hydrogen.

Claim 69 (withdrawn)

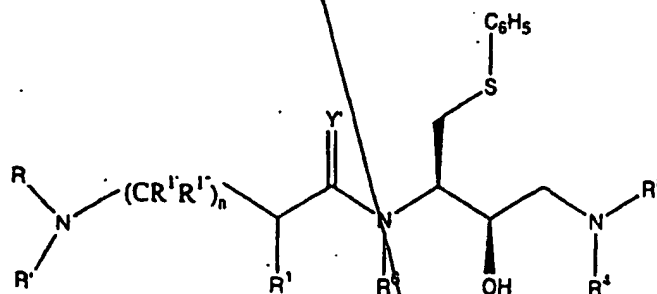
69. A compound of Claim 60 where R' is hydrogen.

Claim 70 (withdrawn)

70. A compound of Claim 60 where R' is hydrogen, alkyl, thioalkyl, alkylthioalkyl, alkenyl, alkynyl and cycloalkyl.

Claim 71 (withdrawn)

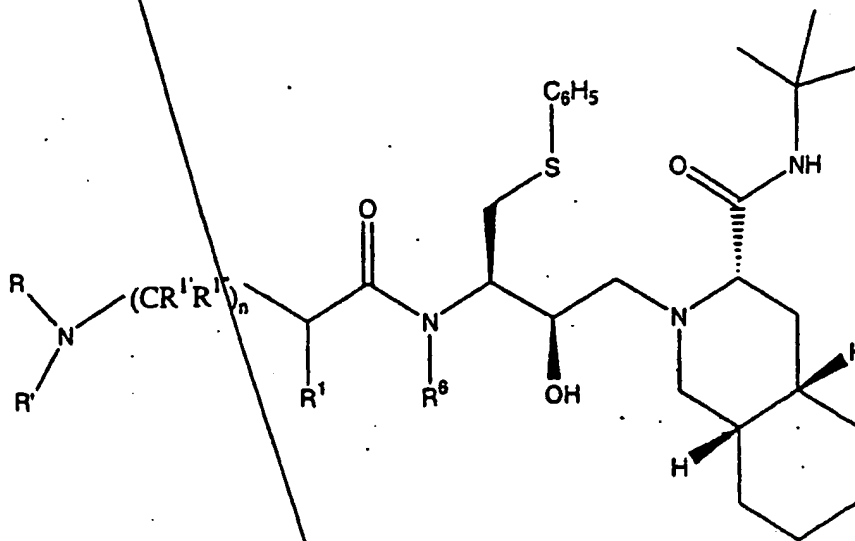
71. A compound of Claim 60 represented by the formula



wherein R, R', R¹, R^{1'}, R^{1''}, R², R³, R⁴, R⁵ and Y' are as described herein.

Claim 72 (withdrawn)

72. A compound of Claim 63 represented by the formula



wherein R, R', R¹, R^{1'}, R^{1''}, R⁶ and Y' are as described herein.

Claim 73 (withdrawn)

73. A pharmaceutical composition comprising a compound of Claim 60 and a pharmaceutical carrier.

Claim 74 (withdrawn)

74. A pharmaceutical composition comprising a compound of Claim 60 and pharmaceutical carriers.

Claim 75 (withdrawn)

75. Method of inhibiting a retroviral protease comprising administering a protease inhibiting amount of a compound of Claim 60.

Claim 76 (withdrawn)

76. Method of treating a retroviral infection comprising administering a pharmaceutical composition of a compound of Claim 60.

Claim 77 (withdrawn)

77. Method of treating HIV infection comprising administering a pharmaceutical composition of a compound of Claim 60.

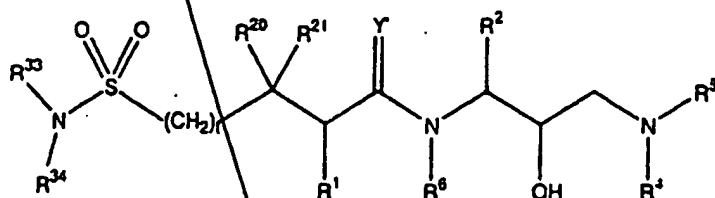
Claim 78 (withdrawn) 78. Method of treating AIDS comprising administering a pharmaceutical composition of a compound of Claim 60.

Claim 79 (withdrawn)

79. Method of treating AIDS comprising administering a pharmaceutical composition of a compound of Claim 60 in combination with other drugs for the treatment of AIDS or the symptoms of AIDS.

Claim 80 (withdrawn)

80. A compound represented by the formula:



(Formula IIa)

or a pharmaceutically acceptable salt, prodrug or ester thereof, wherein:

t represents either 0 or 1;

R¹ represents hydrogen, -CH₂SO₂NH₂, -CO₂CH₃, -CH₂CO₂CH₃, -C(=O)NH₂, -C(=O)NHCH₃, -C(=O)N(CH₃)₂, -CH₂C(=O)NHCH₃, -CH₂C(=O)N(CH₃)₂, alkyl, alkylthioalkyl, thioalkyl and the corresponding sulfoxide and sulfone derivatives thereof, alkenyl, alkynyl and cycloalkyl radicals and amino acid side chains selected from the group consisting of asparagine, S-methyl cysteine and the corresponding sulfoxide and sulfone derivatives thereof, glycine, leucine, isoleucine, allo-isoleucine, tert-leucine, alanine, phenylalanine, ornithine, histidine, norleucine, glutamine, valine, threonine, allo-threonine, serine, aspartic acid and beta-cyano alanine side chains;

R^2 represents alkylthioalkyl, cycloalkylthioalkyl or arylthioalkyl radicals, which radicals are optionally substituted with a substituent selected from the group consisting of $-NO_2$, $-OR^{15}$, $-SR^{15}$, and halogen radicals, wherein R^{15} represents hydrogen and alkyl radicals;

R^1 represents hydrogen, alkyl, alkenyl, alkynyl, haloalkyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, and heteroaralkyl radicals;

Y' represents O, S and NR^3 ;

R^4 and R^5 together with the nitrogen atom to which they are bonded represent a N-heterocycle;

R^6 represents hydrogen and alkyl radicals;

R^{11} and R^{12} independently represent radicals as defined for R^1 , or R^{11} and R^{12} together with the nitrogen to which they are attached form heterocyclyl and heteroaryl radicals;

and R^{10} and R^{11} represent radicals as defined for R^1 .

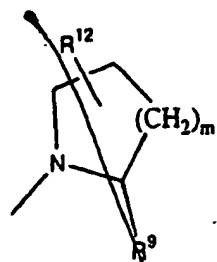
Claim 81 (withdrawn).

81. A compound of Claim 80 where R^4 and R^5 together with the nitrogen atom to which they are bonded represent a N-heterocyclic moiety containing 5, 6 or 7 members when monocyclic, 5, 6 or 7 members in a ring with 1, 2 or 3 members in a bridge when a bridged

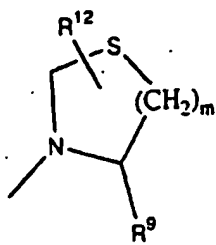
monocyclic, 11, 12 or 13 members when bicyclic, and 11 to 16 members when tricyclic; and R⁶ represents hydrogen and alkyl radicals.

Claim 82 (withdrawn)

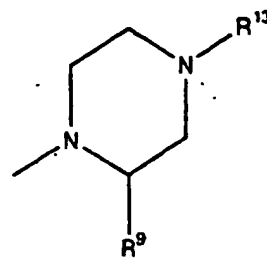
82. A compound of Claim 80 where R¹ and R² together with the nitrogen atom to which they are bonded form a N-heterocyclic moiety selected from the group consisting of formulae (A) through and including (J)



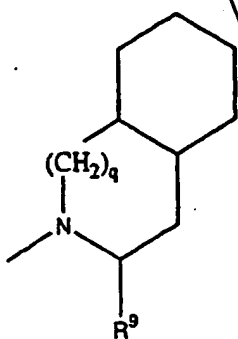
(A)



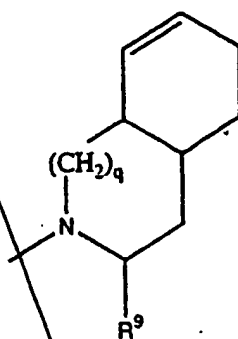
(B)



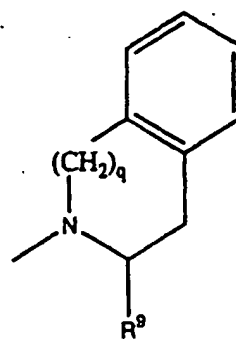
(C)



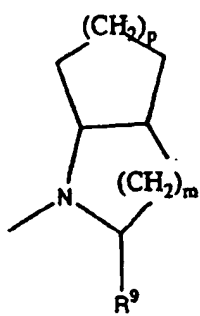
(D)



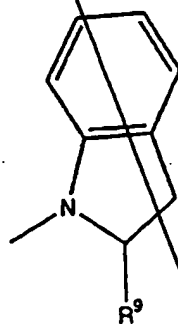
(E)



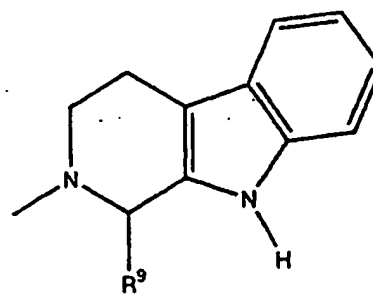
(F)



(G)



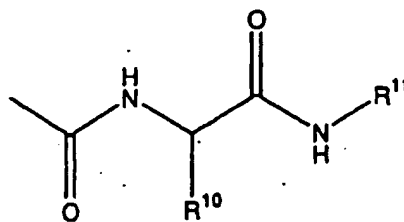
(H)



(J)

wherein:

R⁹ represents hydrogen, alkyl, alkoxycarbonyl, monoalkylcarbamoyl, monoaralkylcarbamoyl, monoarylcarbamoyl or a group of the formula:



R¹⁰ and R¹¹ each represents alkyl;

R¹² represents hydrogen, hydroxy, alkoxycarbonylamino or acylamino;

R¹³ represents hydrogen, alkyl, aryl, alkoxycarbonyl or acyl;

m is 1, 2, 3, or 4;

p is 1 or 2;

q is 0, 1 or 2; and R⁶ represents hydrogen and alkyl radicals.

Claim 83 (withdrawn)

83. A compound of Claim 80 where Y' is oxygen.

Claim 84 (withdrawn)

84. A compound of Claim 80 where R⁷ is arylthioalkyl.

Claim 85 (withdrawn)

85. A compound of Claim 80 where t is 0.

Claim 86 (withdrawn)

86. A compound of Claim 81 where R^3 and R^4 together with the nitrogen atom to which they are bonded represent a bicyclic N-heterocyclic moiety.

Claim 87 (withdrawn)

87. A compound of Claim 80 where R'' and R''' are hydrogen, alkyl, cycloalkyl, aralkyl or haloalkyl.

Claim 88 (withdrawn)

88. A compound of Claim 80 where R'' and R''' taken together with the nitrogen to which they are attached form a heterocyclic ring.

Claim 89 (withdrawn)

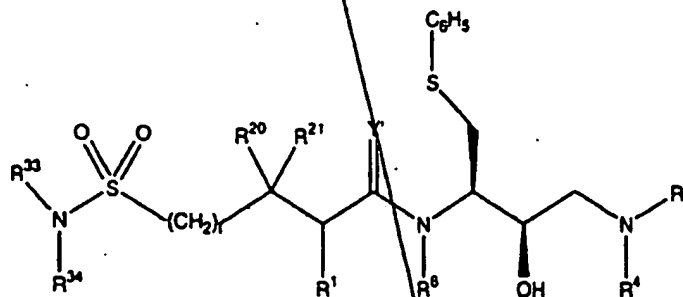
89. A compound of Claim 80 where R^1 is hydrogen, alkyl, thioalkyl, alkylthioalkyl, alkenyl, alkynyl and cycloalkyl.

Claim 90 (withdrawn)

90. A compound of Claim 80 where R^{20} and R^{21} are hydrogen or alkyl.

Claim 91 (withdrawn)

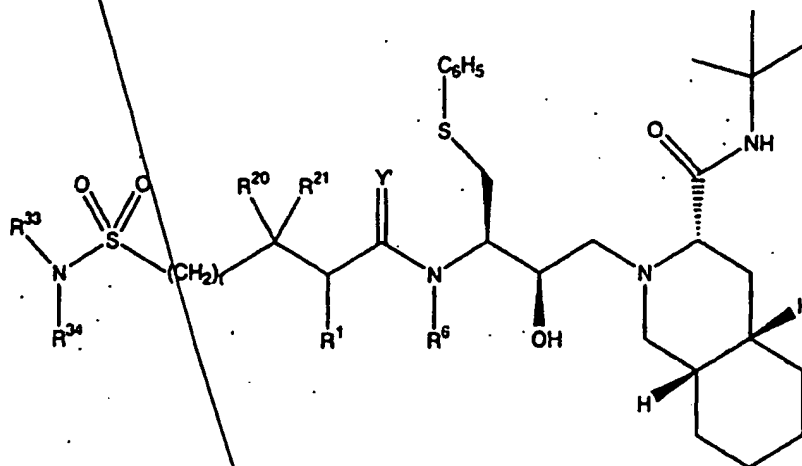
91. A compound of Claim 80 represented by the Formula



wherein R^1 , R^6 , R^8 , R^9 , R^{10} , R^{11} , R^{14} , t and Y' are as described herein.

Claim 92 (withdrawn)

92. A compound of Claim 82 represented by the formula



wherein R^1 , R^6 , R^{10} , R^{11} , R^{14} , t and Y' are as described herein.

Claim 93 (withdrawn)

93. A pharmaceutical composition comprising a compound of Claim 80 and a pharmaceutical carrier.

Claim 94 (withdrawn)

94. A pharmaceutical composition comprising a compound of Claim 80 and a pharmaceutical carriers.

Claim 95 (withdrawn)

95. Method of inhibiting a retroviral protease comprising administering a protease inhibiting amount of a compound of Claim 80.

Claim 96 (withdrawn)

96. Method of treating a retroviral infection comprising administering a pharmaceutical composition of a compound of Claim 80.

Claim 97 (withdrawn)

97. Method of treating HIV infection comprising administering a pharmaceutical composition of a compound of Claim 80.

Claim 98 (withdrawn)

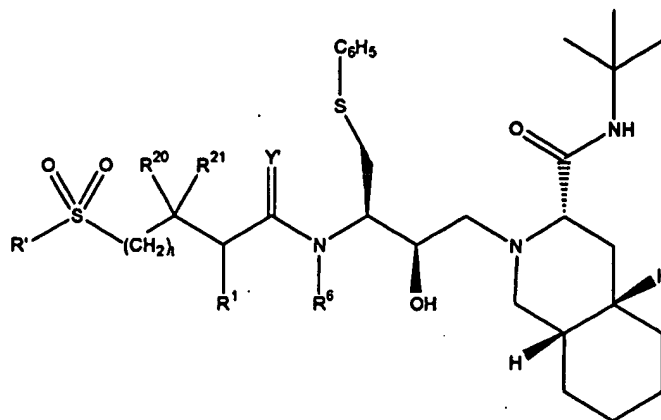
98. Method of treating AIDS comprising administering a pharmaceutical composition of a compound of Claim 80.

Claim 99 (withrdawn)

99. Method of treating AIDS comprising administering a pharmaceutical composition of a compound of Claim 80 in combination with other drugs for the treatment of AIDS or the symptoms of AIDS.

Art Unit: 1625

Claim 100 (New): A compound represented by the formula



wherein

R' represents a radical selected from the group consisting of alkyl, aryl, and arylalkyl;

t is 0 or 1;

R^1 represents a radical selected from the group consisting of a hydrogen radical, $-\text{CH}_2\text{SO}_2\text{NH}_2$, $-\text{CO}_2\text{CH}_3$, $-\text{CH}_2\text{CO}_2\text{CH}_3$, $-\text{C}(\text{O})\text{NH}_2$, $-\text{C}(\text{O})\text{NHCH}_3$, $-\text{C}(\text{O})\text{N}(\text{CH}_3)_2$, $-\text{CH}_2\text{C}(\text{O})\text{NHCH}_3$, $-\text{CH}_2\text{C}(\text{O})\text{N}(\text{CH}_3)_2$, alkyl, alkylthioalkyl, thioalkyl, the corresponding sulfoxide and sulfone derivatives of alkylthioalkyl and thioalkyl, alkenyl, alkynyl, alkoxyalkyl, haloalkyl, cycloalkyl, and amino acid side chains selected from the group consisting of asparagine, S-methyl cysteine, and the corresponding sulfoxide and sulfone derivatives of S-methyl cysteine, glycine, leucine, isoleucine, allo-isoleucine, tert-leucine, alanine, phenylalanine, ornithine, histidine, norleucine, glutamine, valine, threonine, allo-threonine, serine, aspartic acid and beta-cyano alanine;

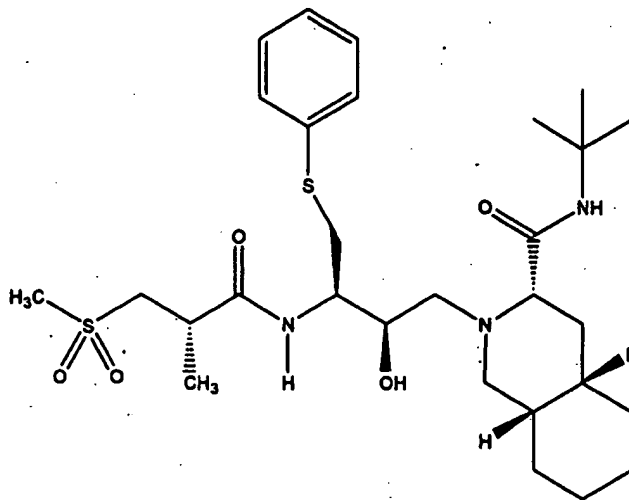
Y' represents O, S, and NR^3 , wherein R^3 represents a radical selected from the group consisting of a hydrogen radical, alkyl, alkenyl, alkynyl, haloalkyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, and heteroaralkyl radicals;

R^6 represents a hydrogen radical or an alkyl radical; and

R^{20} and R^{21} independently represent radicals as defined for R^1 .

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Claim 101 (New): A compound of claim 100 having the formula



Claim 102 (New): A pharmaceutical composition comprising a compound of claim 100 and a pharmaceutical carrier.

Claim 103 (New): A pharmaceutical composition comprising a compound of claim 100 and pharmaceutical carriers.

Claim 104 (New): A method of inhibiting a retroviral protease in vitro comprising administering a protease inhibiting amount of a compound of claim 100 to a cell.